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L Number	Hits	Search Text	DB	Time stamp
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                  Simultaneous left and right truncation added to PASCAL
      8
         AUG 18
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                 FROSTI and KOSMET enhanced with Simultaneous Left and Righ
                  Truncation
 NEWS
      9
         AUG 18
                 Simultaneous left and right truncation added to ANABSTR
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 NEWS 11
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          OCT 10
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10/ 089,166

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STRUCTURE FILE UPDATES: 21 OCT 2003 HIGHEST RN 607679-40-3 DICTIONARY FILE UPDATES: 21 OCT 2003 HIGHEST RN 607679-40-3

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29 ANSWERS

SEARCH TIME: 00.00.14

L2 29 SEA SSS FUL L1

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FILE COVERS 1907 - 22 Oct 2003 VOL 139 ISS 17 FILE LAST UPDATED: 21 Oct 2003 (20031021/ED)

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ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2001:247321 CAPLUS

DOCUMENT NUMBER:

134:280852

TITLE:

Quinazolinones useful as glycoprotein IbIX

antagonists, and their preparation and use for control

PPLICANT)

of thrombotic disorders

INVENTOR (S):

Mederski, Werner; Devant, Ralf; Barnickel, Gerhard; Bernotat-danielowski, Sabine; Melzer, Guido; Dhanoa, Daljit; Zhao, Bao-ping; Rinker, James; Player, Mark;

Soll, Richard

PATENT ASSIGNEE(S):

Merck Patent Gmbh, Germany; et al.

SOURCE:

PCT Int. Appl., 104 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE KIND DATE WO 2001023365 A1 20010405 WO 2000-EP8940 20000913 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG BR 2000014294 A 20020521 BR 2000-14294 20000913 EP 1216235 A1 20020626 EP 2000-965991 20000913 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL NO 2002001502 A 20020326 NO 2002-1502 20020326

PRIORITY APPLN. INFO.: US 1999-407958 A 19990928 WO 2000-EP8940 W 20000913

OTHER SOURCE(S):

MARPAT 134:280852

$$R^{1}$$
 $N$ 
 $Y-R^{4}$ 
 $R^{2}$ 
 $R^{3}$ 

AB Quinazolinones I and their pharmaceutically tolerable salts and solvates are disclosed [in which R, R1 = H, A, OH, OA, OCH2Ar, Hal, NH2, NHA, NA2, NO2, cyano, COR2, CONH2, CONHA, CONA2, CO2H, CO2A, SO2A; R2, R3 = H, A, C(:NH)NH2, solid phase; R4 = Ar, phenylalkyl, cycloalkyl, Het; Y = bond, C2-4 alkylene; Z = bond, phenylene; A = (un)branched C1-6 alkyl; Ar = (un) substituted Ph, naphthyl, biphenyl, or benzofuranyl; Het = (un) substituted, (un) satd. mono- or bicyclic NOS heterocyclyl; Hal = F, Cl, Br, or iodo; n = 1-3; m = 0-3; with a variety of provisos]. The compds. are glycoprotein IbIX antagonists (no data), useful for treatment or prophylaxis of a variety of thrombotic disorders, or as anti-adhesive substances for implants, catheters, or heart pacemakers. For instance, an exemplary amine, 3-(aminomethyl)benzylamine, was supported on p-nitrophenyl carbonate resin, then coupled with various Fmoc-protected anthranilic acids. Cleavage of the Fmoc group, cyclocondensation with various aldehydes R4YCHO, oxidn. of the resultant dihydroquinazolinone ring system, and cleavage from the resin with CF3CO2H, gave a variety of compds. I, e.g., the preferred compd. II.

II

IT **332361-72-5P**, 3-(3-Aminopropyl)-6-chloro-2-(2-furan-2-ylvinyl)-3Hquinazolin-4-one 332361-73-6P, 3-(3-Aminopropyl)-6-methyl-2-(2furan-2-ylvinyl)-3H-quinazolin-4-one 332361-74-7P, 3-(3-Aminopropyl)-7-chloro-2-(2-furan-2-ylvinyl)-3H-quinazolin-4-one 332361-75-8P, 3-(3-Aminopropyl)-6-methoxy-2-(2-furan-2-ylvinyl)-3Hquinazolin-4-one 332361-76-9P, 3-(3-Aminopropyl)-2-(2-furan-2-styryl-6-chloro-3H-quinazolin-4-one 332362-13-7P, 3-(3-Aminopropyl)-2-styryl-6-methyl-3H-quinazolin-4-one 332362-14-8P, 3-(3-Aminopropyl)-2-styryl-7-chloro-3H-quinazolin-4one 332362-15-9P, 3-(3-Aminopropyl)-2-styryl-6-methoxy-3Hquinazolin-4-one 332362-16-0P, 3-(3-Aminopropyl)-2-styryl-3Hquinazolin-4-one RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate)

RN 332361-72-5 CAPLUS CN

4(3H)-Quinazolinone, 3-(3-aminopropyl)-6-chloro-2-[2-(2-furanyl)ethenyl]-(9CI) (CA INDEX NAME)

C1 
$$\sim$$
 CH  $\sim$  C

332361-73-6 CAPLUS RN

4(3H)-Quinazolinone, 3-(3-aminopropyl)-2-[2-(2-furanyl)ethenyl]-6-methyl-CN

(9CI) (CA INDEX NAME)

Me 
$$CH = CH - O$$
 $(CH_2)_3 - NH_2$ 

RN332361-74-7 CAPLUS

4(3H)-Quinazolinone, 3-(3-aminopropyl)-7-chloro-2-[2-(2-furanyl)ethenyl]-CN

(9CI) (CA INDEX NAME)

C1 
$$\sim$$
 CH  $\sim$  C

332361-75-8 CAPLUS RN

4(3H)-Quinazolinone, 3-(3-aminopropyl)-2-[2-(2-furanyl)ethenyl]-6-methoxy-CN

(9CI) (CA INDEX NAME)

MeO 
$$\sim$$
 CH  $\sim$  CH  $\sim$ 

RN 332361-76-9 CAPLUS

4(3H)-Quinazolinone, 3-(3-aminopropyl)-2-[2-(2-furanyl)ethenyl]- (9CI) CN

(CA INDEX NAME)

RN 332362-12-6 CAPLUS CN 4(3H)-Quinazolinone, 3-(3-aminopropyl)-6-chloro-2-(2-phenylethenyl)- (9CI) (CA INDEX NAME)

C1 
$$CH = CH - Ph$$
 $(CH_2)_3 - NH_2$ 

RN 332362-13-7 CAPLUS CN 4(3H)-Quinazolinone, 3-(3-aminopropyl)-6-methyl-2-(2-phenylethenyl)- (9CI) (CA INDEX NAME)

RN 332362-14-8 CAPLUS CN 4(3H)-Quinazolinone, 3-(3-aminopropyl)-7-chloro-2-(2-phenylethenyl)- (9CI) (CA INDEX NAME)

C1 
$$N$$
  $CH$   $CH$   $Ph$   $N$   $(CH2)3-NH2$ 

RN 332362-15-9 CAPLUS
CN 4(3H)-Quinazolinone, 3-(3-aminopropyl)-6-methoxy-2-(2-phenylethenyl)(9CI) (CA INDEX NAME)

MeO 
$$\sim$$
 CH $=$  CH $=$  Ph  $\sim$  Ph

RN 332362-16-0 CAPLUS CN 4(3H)-Quinazolinone, 3-(3-aminopropyl)-2-(2-phenylethenyl)- (9CI) (CA INDEX NAME)

N CH CH Ph

(CH<sub>2</sub>) 
$$_3$$
 - NH<sub>2</sub>

REFERENCE COUNT:

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN

7

ACCESSION NUMBER: 2000:248569 CAPLUS

DOCUMENT NUMBER: 133:17770

TITLE: Solid phase synthesis of styrylquinazolinones

AUTHOR(S): Theoclitou, Maria-Elena; Ostresh, John M.; Hamashin,

Vince; Houghten, Richard A.

CORPORATE SOURCE: Torrey Pines Institute for Molecular Studies, San

Diego, CA, 92121, USA

SOURCE: Tetrahedron Letters (2000), 41(13), 2051-2054

CODEN: TELEAY; ISSN: 0040-4039

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:17770

GΙ

The solid phase synthesis of styrylquinazolinones I (R = 4-HOC6H4CH2, H, Me; R1 = H, Me, Et; R2 = H, Br, Me, NO2; R3 = Ph, 2-MeOC6H4, 4-Et2NC6H4, 2-FC6H4, 6-methyl-2-pyridinyl, 3-pyridinyl, 4-BrC6H4, 3-F3CC6H4, 2,3-F2C6H3, 3-PhOC6H4) is described. Starting from resin-bound amino acids, and employing alkylation, acylation with anthranilic acids, acetylation/cyclocondensation, and aryl aldehyde condensation reactions, the desired styrylquinazolinones were prepd. in good yield and high purity.

IT 273205-37-1P 273205-38-2P 273205-39-3P 273205-40-6P 273205-41-7P 273205-42-8P 273205-43-9P 273205-44-0P 273205-45-1P 273205-46-2P 273205-47-3P 273205-48-4P

273205-49-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
 (solid-phase synthesis of styrylquinazolinones from resin-bound amino acids via alkylation, anthranilic acid acylation, acetylation/cyclocondensation, and aryl aldehyde condensation

reactions)
RN 273205-37-1 CAPLUS

CN 3(4H)-Quinazolineacetamide, .alpha.-[(4-hydroxyphenyl)methyl]-4-oxo-2-(2-

phenylethenyl) -, (.alpha.S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 273205-38-2 CAPLUS

CN 3(4H)-Quinazolineacetamide, 2-[2-(2-methoxyphenyl)ethenyl]-N-methyl-4-oxo-(9CI) (CA INDEX NAME)

RN 273205-39-3 CAPLUS

CN 3(4H)-Quinazolineacetamide, 2-[2-[4-(diethylamino)phenyl]ethenyl]-4-oxo-(9CI) (CA INDEX NAME)

RN 273205-40-6 CAPLUS

CN 3(4H)-Quinazolineacetamide, 2-[2-(2-fluorophenyl)ethenyl]-.alpha.-methyl-4-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

RN 273205-41-7 CAPLUS

CN 3(4H)-Quinazolineacetamide, 8-bromo-N-methyl-4-oxo-2-(2-phenylethenyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 273205-42-8 CAPLUS

CN 3(4H)-Quinazolineacetamide, .alpha.-methyl-2-[2-(6-methyl-2-pyridinyl)ethenyl]-4-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 273205-43-9 CAPLUS

CN 3(4H)-Quinazolineacetamide, .alpha.-methyl-4-oxo-2-[2-(3-pyridinyl)ethenyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

RN 273205-44-0 CAPLUS

CN 3(4H)-Quinazolineacetamide, 2-[2-(4-bromophenyl)ethenyl]-7-methyl-4-oxo-(9CI) (CA INDEX NAME)

RN 273205-45-1 CAPLUS

CN 3(4H)-Quinazolineacetamide, .alpha.-methyl-4-oxo-2-[2-[3-(trifluoromethyl)phenyl]ethenyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 273205-46-2 CAPLUS

CN 3(4H)-Quinazolineacetamide, .alpha.-methyl-8-nitro-4-oxo-2-(2-phenylethenyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

RN 273205-47-3 CAPLUS

CN 3(4H)-Quinazolineacetamide, 2-[2-(2,3-difluorophenyl)ethenyl]-.alpha.-methyl-4-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 273205-48-4 CAPLUS

CN 3 (4H) -Quinazolineacetamide, .alpha.-methyl-4-oxo-2-[2-(3-phenoxyphenyl)ethenyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 273205-49-5 CAPLUS

CN 3 (4H) -Quinazolineacetamide, N-ethyl-2-[2-(2-fluorophenyl)ethenyl]-.alpha.-[(4-hydroxyphenyl)methyl]-4-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Ь3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1964:418260 CAPLUS

DOCUMENT NUMBER: 61:18260

ORIGINAL REFERENCE NO.: 61:3107d-h,3108a

Potential anticonvulsants. Synthesis of TITLE:

2,3-substituted 4-quinazolones and quinazolo-4-thiones

Bhaduri, A. P.; Khanna, N. M.; Dhar, M. L. AUTHOR (S):

CORPORATE SOURCE: Central Drug Res. Inst., Lucknow

Indian Journal of Chemistry (1964), 2(4), 159-61 SOURCE:

CODEN: IJOCAP; ISSN: 0019-5103

DOCUMENT TYPE: LANGUAGE:

Journal Unavailable

For diagram(s), see printed CA Issue. AB Title compds. were prepd. as potential anticonvulsants. Thus, a mixt. of 1 mole 2-methyl-4-quinazolone, 1 mole LiOH (NaOH did not work), and 1 mole appropriate phenacyl bromide (prepd. by bromination of the corresponding acetophenone) was refluxed 5 hrs. in abs. EtOH, EtOH distd., the residue extd. with C6H6, solvent distd., and the residue triturated with n-hexane to give I, which were crystd. from EtOH or C6H6-petr. ether. A mixt. 1 mole 2-methyl-3-(p-bromophenacyl)-4-quinazolone and 3-4 moles appropriate aromatic aldehyde was heated 2 hrs. at 160.degree., cooled to room temp., triturated and washed 4-5 times with ether to give I, which were crystd. from glacial HOAc. 2-Styryl- and -substituted styryl-4-quinazolones, 1 mole freshly prepd. Et2NCH2CH2Cl, and 1 mole NaOH in abs. EtOH was refluxed, the mixt. cooled and filtered, the residue extd. with CHCl3, and the solvent distd. to give I. The following I were prepd. [R, RI1, and b.p. (temps. given are bath temps.) or m.p. given]: (CH2)2NEt2, CH:CHC6H4Cl-o, b10-3 210.degree.; (CH2)2NEt2, CH:CHC6H3(OMe)2-3,4, b10-3 250.degree.; (CH2)2NEt2, CH:CHC6H4OMe-p, b10-3 220.degree.; (CH2)2NEt2, CH:CHPh, b10-3 170.degree.; (CH2)2NEt2, CH:CHC6H4OMe-p, m. 149-50.degree.; CH2COC6H4Br-p, Me, m. 196-7.degree.; CH2COC6H4Br-p, CH:CHC6H4OMe-p, m. 247-8.degree.; CH2COC6H4Br-p, CH:CHPh, m. 260-1.degree.; CH2Bz, Me, m. 135-6.degree.; CH2COC6H4F-p, Me, m. 175-6.degree.; and CH2COC6H4OMe-p, Me, m. 188.degree.. A mixt. of 1 mole 2-mercapto-3-aryl-4-quinazolone and 1.05 mole P2S5 in dry xylene was refluxed 4 hrs. at 140.degree., decanted, cooled, filtered off, the solid dissolved in cold dry Me2CO or dry ether, and the soln. evapd. to give 70-80% II. The appropriate alkyl or aryl alkyl halide (1.1 mole), 1 mole 2-mercapto-3-arylquinazoline-4-thione, and 1 mole NaOH in EtOH was kept at room temp. (in the case of MeI) or refluxed 4--10~hrs. The sepd. solid was filtered off, washed with H2O, and crystd. to give II. The filtrate was evapd. to dryness, and the residue obtained triturated 3-4 times with H2O. The resulting residue contained very little of the desired product. In expts. where no solid sepd. out, EtOH was distd., the residue extd. with dry-n-hexane, the solvent removed and the concd. soln. refrigerated overnight to give II. The following II (R = Ph) were prepd. (R1 and m.p. given): H, 248-50.degree.; Me,

IT

RN

CN

175-6.degree.; Et, 135-6.degree.; Pr, 79-80.degree.; CH2CH:CH2, 130-1.degree., Bu, 74-5.degree.; Am, 63-4.degree.; CH2Ph, 158-9.degree.; CH2C6H4NO2-p, 174-5.degree.; (CH2)2Ph, 88-90.degree.; and (CH2)2NEt2, 217-18.degree.. The following II (R = o-MeOC6H4) were prepd. (data as above): H, 197-8.degree.; Me, 146-7.degree.; Et, 102-3.degree.; Pr, 82-3.degree., Bu, 98-9.degree.; Am, 69-70.degree.; CH2CH:CH2, 100-1.degree.; CH2Ph, 115-16.degree., CH2CO2H, 187-8.degree.; (CH2)2Ph, 103-4.degree.; and CH2COC6H4Br-p, 139-40.degree.. The following II (R = p-ClC6H4) were prepd. (data as above): H, 240-1.degree.; Me, 190-1.degree.; and Et, 147-8.degree.. The infrared spectra of II thus prepd. did not indicate the presence of a CO group, but gave a C:S peak (1360 cm.-1). 95164-20-8, 4(3H)-Quinazolinone, 2-(o-chlorostyryl)-3-[2-(diethylamino)ethyl] - 95226-84-9, 4(3H)-Quinazolinone, 3-[2-(diethylamino)ethyl]-2-styryl- 95698-76-3, 4(3H)-Quinazolinone, 3-[2-(diethylamino)ethyl]-2-(3,4-dimethoxystyryl)-96369-28-7, 4(3H)-Quinazolinone, 3-[2-(diethylamino)ethyl]-2-(pmethoxystyryl) -(prepn. of) 95164-20-8 CAPLUS 4(3H)-Quinazolinone, 2-(o-chlorostyryl)-3-[2-(diethylamino)ethyl]- (7CI)

$$\begin{array}{c|c}
 & R \\
 & R \\
 & CH_2 - CH_2 - NEt_2
\end{array}$$

(CA INDEX NAME)

RN 95226-84-9 CAPLUS
CN 4(3H)-Quinazolinone, 3-[2-(diethylamino)ethyl]-2-styryl- (7CI) (CA INDEX NAME)

RN 95698-76-3 CAPLUS CN 4(3H)-Quinazolinone, 3-[2-(diethylamino)ethyl]-2-(3,4-dimethoxystyryl)-(7CI) (CA INDEX NAME) 10/ 089,166

RN 96369-28-7 CAPLUS CN 4(3H)-Quinazolinone, 3-[2-(diethylamino)ethyl]-2-(p-methoxystyryl)- (7CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & R \\
 & R \\
 & CH_2 - CH_2 - NEt_2
\end{array}$$

=> d his

(FILE 'HOME' ENTERED AT 17:54:05 ON 22 OCT 2003)

FILE 'REGISTRY' ENTERED AT 17:54:37 ON 22 OCT 2003

L1 STRUCTURE UPLOADED

L2 29 S L1 FUL

FILE 'CAPLUS' ENTERED AT 17:55:28 ON 22 OCT 2003

L3 3 S L2

=> log y

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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